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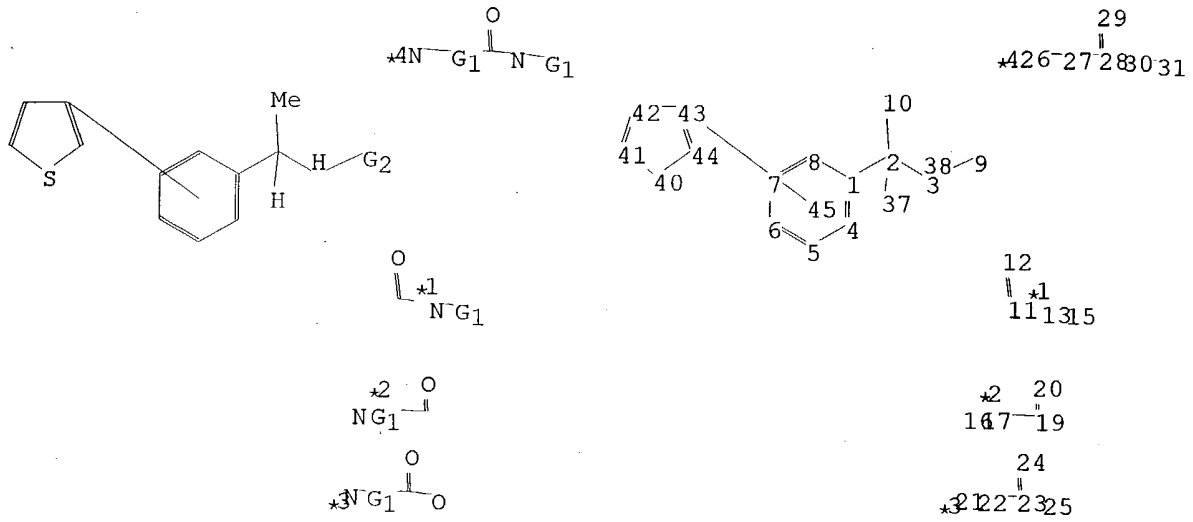


chain nodes :
2 3 9 10 11 12 13 15 16 17 19 20 21 22 23 24 25 26 27 28 29
30 31 37 38
ring nodes :
1 4 5 6 7 8 40 41 42 43 44
chain bonds :
1-2 2-3 2-10 2-37 3-9 3-38 11-12 11-13 13-15 16-17 17-19 19-20 21-22
22-23 23-24 23-25 26-27 27-28 28-29 28-30 30-31
ring bonds :
1-4 1-8 4-5 5-6 6-7 7-8 40-41 40-44 41-42 42-43 43-44
exact/norm bonds :
3-9 11-12 11-13 13-15 16-17 17-19 19-20 21-22 22-23 23-24 23-25 26-27
27-28 28-29 28-30 30-31 40-41 40-44 41-42 42-43 43-44
exact bonds :
1-2 2-3 2-10 2-37 3-38
normalized bonds :
1-4 1-8 4-5 5-6 6-7 7-8

G1:H,Ak

G2:[*1],[*2],[*3],[*4]

G3:C,N

Match level :
1:Atom 2:CLASS 3:CLASS 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:CLASS 10:CLASS
11:CLASS 12:CLASS 13:CLASS 15:CLASS 16:CLASS 17:CLASS 19:CLASS 20:CLASS
21:CLASS 22:CLASS
23:CLASS 24:CLASS 25:CLASS 26:CLASS 27:CLASS 28:CLASS 29:CLASS 30:CLASS
31:CLASS 37:CLASS
38:CLASS 40:Atom 41:Atom 42:Atom 43:Atom 44:Atom 45:CLASS

L16 STRUCTURE UPLOADED

=> s l16 full
FULL SEARCH INITIATED 23:26:22 FILE 'REGISTRY'

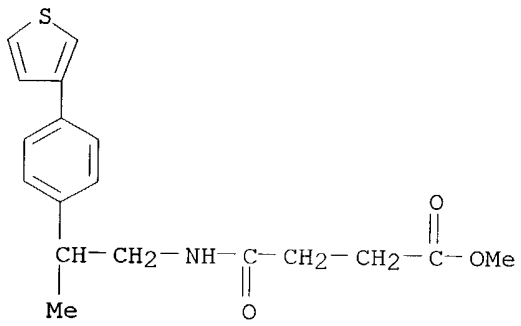
FULL SCREEN SEARCH COMPLETED - 20279 TO ITERATE

100.0% PROCESSED 20279 ITERATIONS 2 ANSWERS
SEARCH TIME: 00.00.01

L17 2 SEA SSS FUL L16

=> d l17 1-2

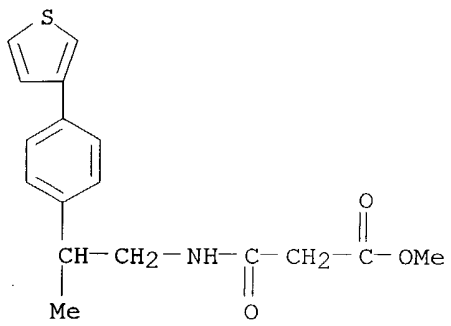
L17 ANSWER 1 OF 2 REGISTRY COPYRIGHT 2004 ACS on STN
RN 256380-68-4 REGISTRY
CN Butanoic acid, 4-oxo-4-[[2-[4-(3-thienyl)phenyl]propyl]amino]-, methyl ester (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C18 H21 N O3 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L17 ANSWER 2 OF 2 REGISTRY COPYRIGHT 2004 ACS on STN
RN 256380-67-3 REGISTRY
CN Propanoic acid, 3-oxo-3-[[2-[4-(3-thienyl)phenyl]propyl]amino]-, methyl ester (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C17 H19 N O3 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	161.90	1148.97

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-6.30

FILE 'CAPLUS' ENTERED AT 23:26:51 ON 19 SEP 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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FILE COVERS 1907 - 19 Sep 2004 VOL 141 ISS 13
FILE LAST UPDATED: 17 Sep 2004 (20040917/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l17
L18 1 L17

=> d l18 ibib abs hitstr

L18 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:84383 CAPLUS
DOCUMENT NUMBER: 132:122515
TITLE: Preparation of thienylphenylpropylamides, -carbamates,

-ureas, and related compounds as glutamate receptor potentiators.

INVENTOR(S): Arnold, Macklin Brian; Bleisch, Thomas John; Ornstein, Paul Leslie; Zarrinmayeh, Hamideh; Zimmerman, Dennis Michael; Bender, David Michael; Jones, Winton Dennis

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: Eur. Pat. Appl., 82 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 976744	A1	20000202	EP 1999-305981	19990728
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CA 2338916	AA	20000210	CA 1999-2338916	19990728
WO 2000006156	A1	20000210	WO 1999-US17126	19990728
W: AE, AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9951344	A1	20000221	AU 1999-51344	19990728
JP 2002521442	T2	20020716	JP 2000-562011	19990728
US 6617351	B1	20030909	US 2001-744412	20010123
US 2004097499	A1	20040520	US 2003-613684	20030703
PRIORITY APPLN. INFO.:			US 1998-94997P P	19980731
			WO 1999-US17126 W	19990728
			US 2001-744412 A3	20010123

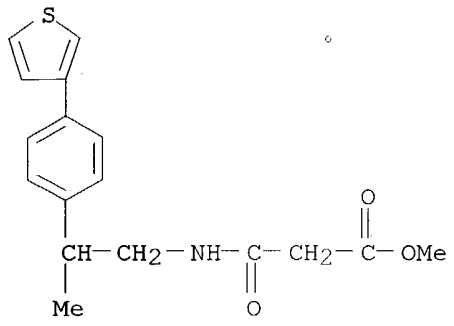
OTHER SOURCE(S): MARPAT 132:122515

AB R1CR5R8(CR6R7)qBR2 [B = CONRa, NRaCONRa; Ra = H, alkyl; q = 0, 1; R1 = (substituted) naphthyl, Ph, furyl, thienyl, pyridyl; R2 = H, alkyl, cycloalkyl, fluoroalkyl, alkenyl, alkoxyalkyl, phenylalkyl, heteroaryl, (substituted) Ph, etc.; R5-R8 = H, alkyl, aralkyl, alkenyl, aralkenyl, aryl], were prepared as nervous system agents (no data). Thus, (R)-2-(4-bromophenyl)-N-(tert-butoxycarbonyl)propylamine (preparation given) was stirred with K2CO3, Pd(Ph3P)4, and thiophene-3-boronic acid in dioxane/H2O at 100° for 4 h to give 66% 2-[4-(3-thienyl)phenyl]propylamine trifluoroacetate. The latter in CH2Cl2 was treated with Et3N and MeO2CCl to give 2-[4-(3-thienyl)phenyl]-N-(methoxycarbonyl)propylamine.

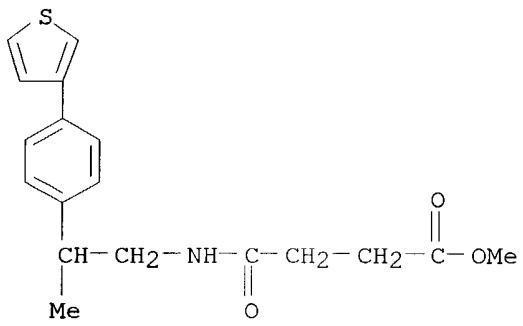
IT **256380-67-3P 256380-68-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of thienylphenylpropylamides, -carbamates, -ureas, and related compds. as glutamate receptor potentiators)

RN 256380-67-3 CAPLUS

CN Propanoic acid, 3-oxo-3-[[2-[4-(3-thienyl)phenyl]propyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



RN 256380-68-4 CAPLUS
CN Butanoic acid, 4-oxo-4-[[2-[4-(3-thienyl)phenyl]propyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



L19 STRUCTURE UPLOADED

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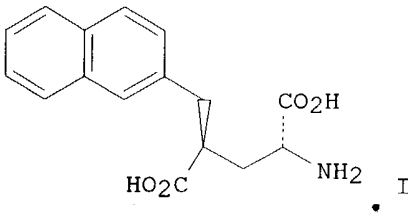
FULL SEARCH INITIATED 23:28:01 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 20279 TO ITERATE

100.0% PROCESSED 20279 ITERATIONS 2 ANSWERS
SEARCH TIME: 00.00.01

L20 2 SEA SSS FUL L19

=> d l3 1-5 ibib abs hitstr

L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:655594 CAPLUS
DOCUMENT NUMBER: 137:332741
TITLE: 4-Substituted D-Glutamic Acid Analogues: The First Potent Inhibitors of Glutamate Racemase (MurI) Enzyme with Antibacterial Activity
AUTHOR(S): de Dios, Alfonso; Prieto, Lourdes; Martin, Jose Alfredo; Rubio, Almudena; Ezquerra, Jesus; Tebbe, Mark; Lopez de Uralde, Beatriz; Martin, Justina; Sanchez, Ana; LeTourneau, Deborah L.; McGee, James E.; Boylan, Carole; Parr, Thomas R., Jr.; Smith, Michele C.
CORPORATE SOURCE: Eli Lilly and Co., Lilly S.A., Alcobendas, Madrid, 28108, Spain
SOURCE: Journal of Medicinal Chemistry (2002), 45(20), 4559-4570
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



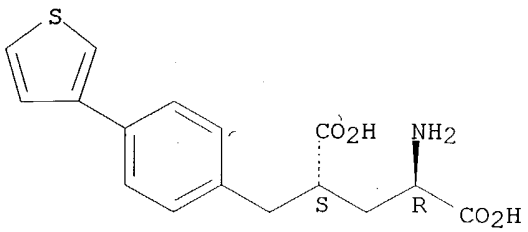
I

AB The first potent inhibitors of glutamate racemase (MurI) enzyme that show whole cell antibacterial activity are described. Optically pure 4-substituted D-glutamic acid analogs with (2R,4S) stereochem. and bearing aryl-, heteroaryl-, cinnamyl-, or biaryl-Me substituents represent a novel class of glutamate racemase inhibitors. Exploration of the D-Glu core led to the identification of lead compds. 2-Naphthylmethyl derivative (I) was a potent competitive inhibitor of glutamate racemase activity (Ki = 16 nM, CD assay; IC50 = 0.1 μg/mL high-performance liquid chromatog. (HPLC) assay). Thorough structure-activity relation (SAR) studies led to benzothienyl derivs. such as 69 and 74 with increased potency (IC50 = 0.036 and 0.01 μg/mL, resp., HPLC assay). These compds. showed potent whole cell antibacterial activity against S. pneumoniae PN-R6, and good correlation with the enzyme assay. Some of the prepared substances showed efficacy in an in vivo murine thigh infection model against Streptococcus pneumoniae. Data described herein suggest that glutamate racemase may be a viable target for developing new antibacterial agents.

IT **400625-81-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and structure-activity relationship of D-glutamic acid analogs as potent inhibitors of glutamate racemase with antibacterial activity)

RN 400625-81-2 CAPLUS
CN D-Glutamic acid, 4-[[4-(3-thienyl)phenyl]methyl]-, hydrochloride, (4S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



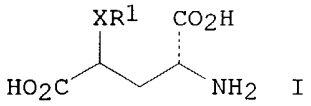
● HCl

REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:142656 CAPLUS
DOCUMENT NUMBER: 136:200471
TITLE: Preparation of D-glutamic acid derivatives as inhibitors of glutamate racemase
INVENTOR(S): De Dios, Alfonso; Ezquerra-Carrera, Jesus; McGee, James Eugene; Martin, Jose Alfredo; Prieto, Lourdes; Rubio-Esteban, Almudena; Smith, Michele Ceceil; Tebbe, Mark Joseph
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002014261	A2	20020221	WO 2001-US22589	20010809
WO 2002014261	A3	20030327		
W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001078945	A5	20020225	AU 2001-78945	20010809
PRIORITY APPLN. INFO.:			ES 2000-2055 A	20000810
			US 2001-288361P P	20010503
			WO 2001-US22589 W	20010809

OTHER SOURCE(S): MARPAT 136:200471
GI



I

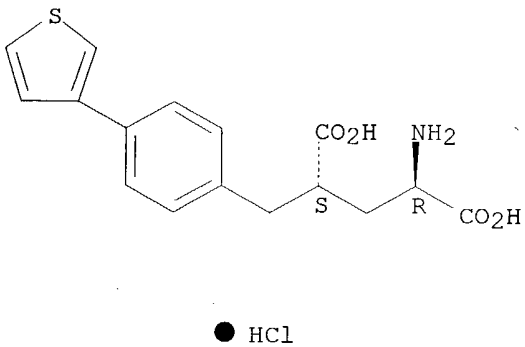
AB Compds. I [X is a bond, O, S, SO or SO2; R1 = (C1-10)alkyl, (C2-10)alkenyl or -alkynyl, (C4-10)alkadienyl, carboxamido- or aminocarbonyl(C1-8)alkyl which may be substituted by (C3-10)cycloalkyl or by one or two (un)substituted aromatic groups, provided that when X represents a bond, R1 can not represent a 3-phenyl-2-propenyl,3-(4-chlorophenyl)-2-propenyl, 4-fluorobenzyl or 1-naphthylmethyl group] or their esters, amides or salts were prepared as inhibitors of glutamate racemase for use as antibiotics. Thus, (2R,4S)-2-amino-4-(2-naphthyl)methylpentanedioic acid was prepared by alkylation of D-Et N-(tert-butoxycarbonyl)pyroglutamate with 2-naphthylmethyl bromide, followed by ring cleavage/deprotection using LiOH in aqueous THF and workup.

IT **400625-81-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of D-glutamic acid derivs. as inhibitors of glutamate racemase)

RN 400625-81-2 CAPLUS

CN D-Glutamic acid, 4-[[4-(3-thienyl)phenyl]methyl]-, hydrochloride, (4S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



● HCl

L3 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:319662 CAPLUS

DOCUMENT NUMBER: 134:336204

TITLE: Succinic acid derivative metallo-β-lactamase inhibitors, their preparation, and their use in treating bacterial infections

INVENTOR(S): Balkovec, James M.; Hammond, Gail; Greenlee, Mark L.; Olson, Steven H.; Rouen, Gregory P.; Epstein-Toney, Jeffrey H.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 136 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2001030149	A1	20010503	WO 2000-US29867		20001027
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
EP 1227722	A1	20020807	EP 2000-975482		20001027
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL					
JP 2003513890	T2	20030415	JP 2001-532589		20001027
AU 762935	B2	20030710	AU 2001-13530		20001027
PRIORITY APPLN. INFO.:			US 1999-162369P	P	19991028
			WO 2000-US29867	W	20001027

OTHER SOURCE(S): MARPAT 134:336204

AB Substituted succinic acid metallo-β-lactamase inhibitors are provided which are useful potentiators of β-lactam antibiotics. Accordingly, the invention provides a method of treating bacterial infections in animals or humans which comprises administering, together with a β-lactam antibiotic, a therapeutically effective amount of a succinic acid derivative or pharmaceutically acceptable salt, prodrug, anhydride, or solvate thereof.

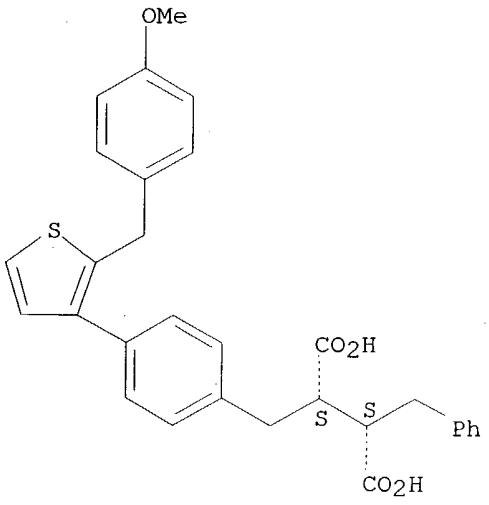
IT **337517-47-2P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(succinic acid derivative metallo-β-lactamase inhibitors, preparation, and use in treating bacterial infections)

RN 337517-47-2 CAPLUS

CN Butanedioic acid, 2-[[4-[2-[(4-methoxyphenyl)methyl]-3-thienyl]phenyl]methyl]-3-(phenylmethyl)-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

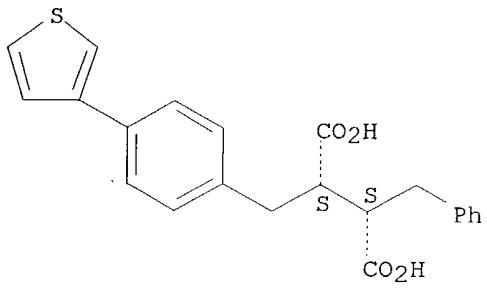


IT **337518-43-1 337518-59-9**

. RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(succinic acid derivative metallo-β-lactamase inhibitors, preparation, and use in treating bacterial infections)

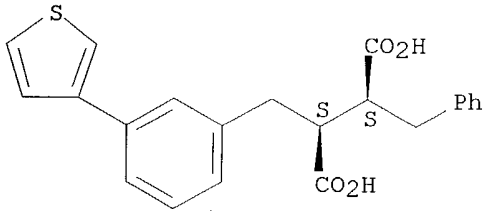
RN 337518-43-1 CAPLUS
CN Butanedioic acid, 2-(phenylmethyl)-3-[[4-(3-thienyl)phenyl]methyl]-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 337518-59-9 CAPLUS
CN Butanedioic acid, 2-(phenylmethyl)-3-[[3-(3-thienyl)phenyl]methyl]-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

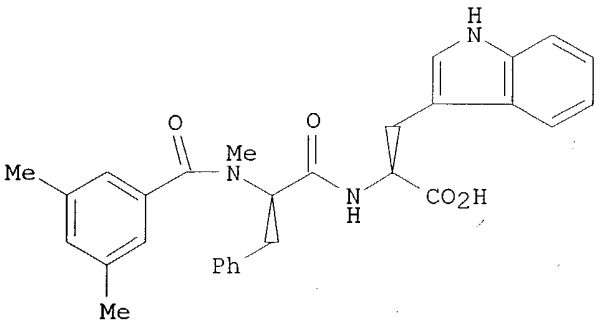
L3 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:887871 CAPLUS
DOCUMENT NUMBER: 123:340965
TITLE: Preparation of dipeptide analogs as endothelin receptor antagonists.
INVENTOR(S): Saika, Hideyuki; Murata, Toshiki; Pitterna, Thomas; Frueh, Thomas; Svensson, Lene D.; Urade, Yoshihiro; Yamamura, Takaki; Okada, Toshikazu
PATENT ASSIGNEE(S): Japat Ltd., Switz.; Ciba-Geigy Japan Ltd.
SOURCE: PCT Int. Appl., 115 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 9512611		A1	19950511	WO 1994-EP3418		19941017
W:	AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MN, NO, NZ, PL, RO, RU, SI, SK, TJ, TT, UA, US, UZ, VN					
RW:	KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
CA 2173875		AA	19950511	CA 1994-2173875		19941017
AU 9478565		A1	19950523	AU 1994-78565		19941017
AU 691201		B2	19980514			
EP 728145		A1	19960828	EP 1994-929557		19941017
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE					
BR 9407933		A	19961126	BR 1994-7933		19941017
JP 09504302		T2	19970428	JP 1994-512982		19941017
RU 2126418		C1	19990220	RU 1996-112148		19941017
ZA 9408541		A	19950502	ZA 1994-8541		19941031
FI 9601804		A	19960430	FI 1996-1804		19960426
NO 9601725		A	19960429	NO 1996-1725		19960429
US 5780498		A	19980714	US 1996-637720		19960430
PRIORITY APPLN. INFO.:				EP 1993-810760	A	19931101
				WO 1994-EP3418	W	19941017

OTHER SOURCE(S): MARPAT 123:340965

GI



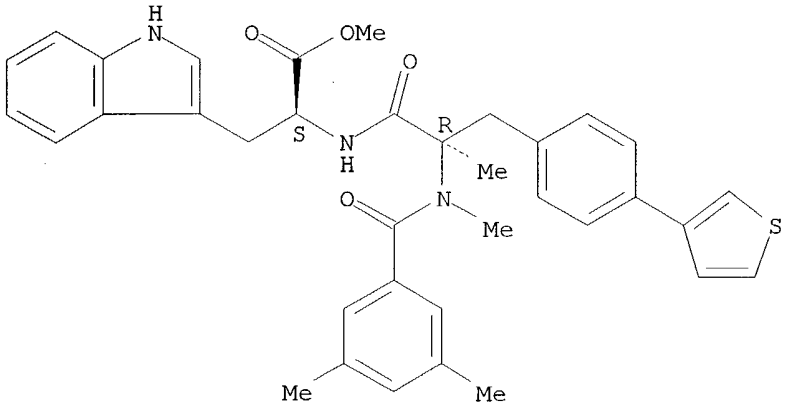
I

AB R1CONR2CH(CR3R31R311)C(X)YCHR4R5 [R1 = alkyl, cycloalkylalkyl, aralkyl, cycloalkyl, aryl, arylcycloalkyl, alkoxy, aryloxy, heteroaryl; R2 = H, alkyl, cycloalkyl, cycloalkylalkyl; R3, R31 = H, alkyl, cycloalkyl, aralkyl, aryl, heteroaryl; R3R31 = atoms to form a ring; R311 = H, alkyl, aryl; R2R311 = (CH2)n, (CH2)pAr; n = 1, 2, 3; p = 0, 1, 2; Ar = (hetero)arylene; X = O, S, NH, NHOH, CH2, etc.; Y = bond, O, CH2, imino; or X = (H, OH) and Y = bond, CH2; R4 = (CH2)sAr1; s = 0, 1, 2, 3; Ar1 = (hetero)aryl; R5 = H, carboxy, (substituted) carboxamido, PO(OH)2, tetrazolyl, CH2OH, CN], were prepared Thus, title compound (I), prepared by solution phase means, inhibited endothelin-3 induced contraction of guinea pig trachea with pA2 = 6.3. Drug formulations containing I are given.

IT **169545-88-4P 169545-89-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of dipeptide analogs as endothelin receptor antagonists)

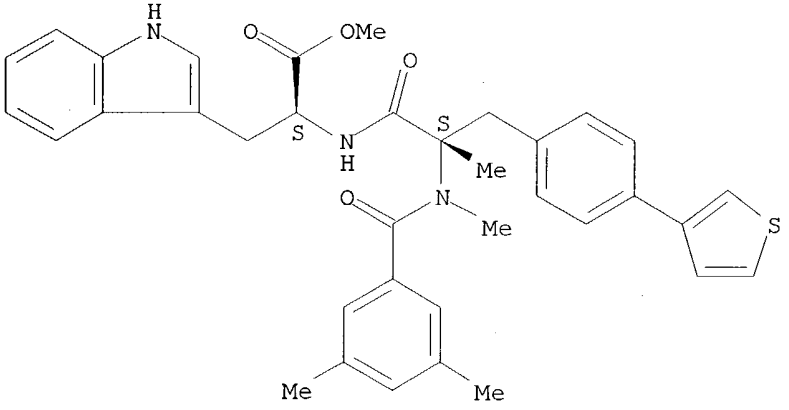
RN 169545-88-4 CAPLUS

CN L-Tryptophan, N-[N-(3,5-dimethylbenzoyl)-N,α-dimethyl-4-(3-thienyl)-D-phenylalanyl]- (9CI) (CA INDEX NAME)



RN 169547-49-3 CAPLUS
CN L-Tryptophan, N-[N-(3,5-dimethylbenzoyl)-N,α-dimethyl-4-(3-thienyl)-L-phenylalanyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



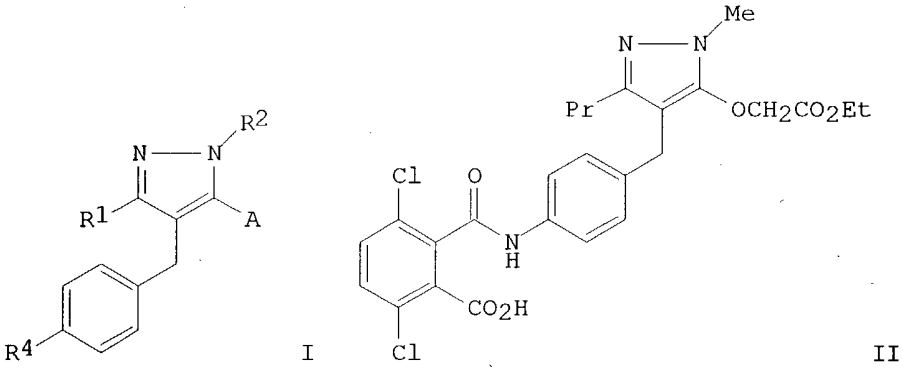
L3 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1992:6554 CAPLUS
DOCUMENT NUMBER: 116:6554
TITLE: Pyrazole derivatives as angiotensin II-receptor antagonists, process for their preparation, and pharmaceutical compositions containing them
INVENTOR(S): Bru-Magniez, Nicole; Nicolai, Eric; Teulon, Jean Marie
PATENT ASSIGNEE(S): Laboratoires UPSA S. A., Fr.
SOURCE: Eur. Pat. Appl., 93 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 449699	A2	19911002	EP 1991-400717	19910318
EP 449699	A3	19930407		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE

FR 2659655	A1	19910920	FR 1990-3485	19900319
FR 2659655	B1	19920724		
ZA 9101925	A	19920325	ZA 1991-1925	19910315
AU 9173591	A1	19910919	AU 1991-73591	19910318
CA 2038428	AA	19910920	CA 1991-2038428	19910318
JP 04234851	A2	19920824	JP 1991-78251	19910319

PRIORITY APPLN. INFO.: FR 1990-3485 19900319
OTHER SOURCE(S): MARPAT 116:6554
GI

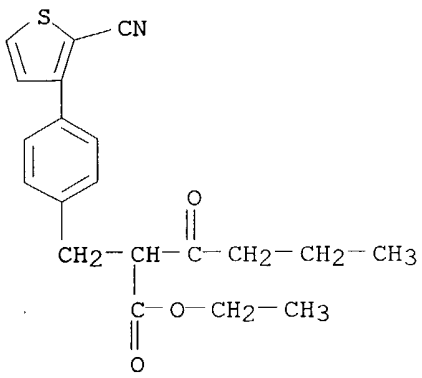


AB Pyrazoles I [R1 = alkyl, alkenyl, cycloalkyl; R2 = H, alkyl, haloalkyl, cycloalkyl, etc.; A = hydroxyalkyl, alkoxyalkyl, haloalkyl, CHO, CO2H, CONH2, etc.; R4 = NO2, NH2, CO2H, alkoxycarbonyl, various substituted Ph and thienyl groups] were prepared as cardiovascular agents, especially for treatment of hypertension or cardiac insufficiency. Thus, Et [1-methyl-3-butyl-4-(4-nitrobenzyl)pyrazol-5-yl]oxyacetate (preparation given) was hydrogenated over Raney Ni, and the resultant aminobenzyl compound condensed with 3,6-dichlorophthalic anhydride in MeCN, to give title compound II (isolated as dicyclohexylamine salt). II gave 96% displacement of [125I]-(Sar1,Tyr4,Ile8)-angiotensin II from rat suprarenal angiotensin II receptors in vitro at 10-5 M.

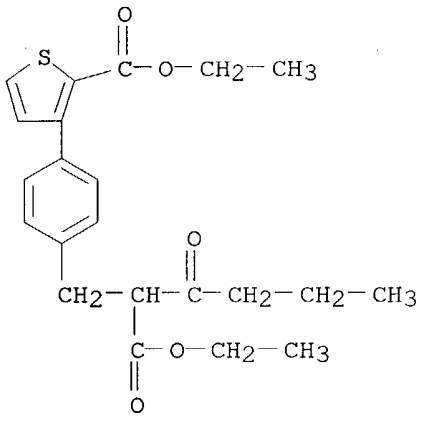
IT **137860-57-2P 137860-60-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for cardiovascular agents)

RN 137860-57-2 CAPLUS

CN Benzenepropanoic acid, 4-(2-cyano-3-thienyl)-α-(1-oxobutyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 137860-60-7 CAPLUS
CN 2-Thiophenecarboxylic acid, 3-[4-[2-(ethoxycarbonyl)-3-oxohexyl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



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E2            4      ARNOLD MACKLIN B/AU
E3           12 --> ARNOLD MACKLIN BRIAN/AU
E4            1      ARNOLD MADELEINE C/AU
E5            1      ARNOLD MALCOLM/AU
E6          125      ARNOLD MANFRED/AU
E7            2      ARNOLD MARC/AU
E8           48      ARNOLD MARCEL/AU
E9            4      ARNOLD MARCO/AU
E10           2      ARNOLD MARCUS/AU
E11           1      ARNOLD MARGARET K/AU
E12           1      ARNOLD MARGARETE/AU
E13           4      ARNOLD MARGIE/AU
E14           1      ARNOLD MARGIT/AU
E15           1      ARNOLD MARIE ANTOINETTE/AU
E16           2      ARNOLD MARIE LUISE/AU
E17           3      ARNOLD MARILYN D/AU
E18           1      ARNOLD MARION/AU
E19           1      ARNOLD MARION D/AU
E20           3      ARNOLD MARION L/AU
E21           2      ARNOLD MARJORIE/AU
E22           1      ARNOLD MARJORIE E/AU
E23           1      ARNOLD MARJORIE LUCILLE/AU
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E25         125      ARNOLD MARK A/AU

=> S (E2 OR E3) AND (?PHENYL(3A)THIENYL?)
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            12 "ARNOLD MACKLIN BRIAN"/AU
        754753 ?PHENYL
       1198880 PH
          9092 PHS
       1202899 PH
                 (PH OR PHS)
       1809329 ?PHENYL
                 (?PHENYL OR PH)
         25703 THIENYL?
          6415 ?PHENYL(3A)THIENYL?
L1           3 ("ARNOLD MACKLIN B"/AU OR "ARNOLD MACKLIN BRIAN"/AU) AND
(?PHENYL(3A)THIENYL?)

=> DIS L1 1-
YOU HAVE REQUESTED DATA FROM 3 ANSWERS - CONTINUE? Y/(N):Y

L1   ANSWER 1 OF 3  CAPLUS  COPYRIGHT 2004 ACS on STN
AN   2000:98505  CAPLUS
DN   132:137119
TI   Preparation of N-substituted sulfonamide derivatives for potentiating
     glutamate receptor function
IN   Arnold, Macklin Brian; Jones, Winton Dennis; Ornstein, Paul
     Leslie; Zarrinmayeh, Hamideh; Zimmerman, Dennis Michael
PA   Eli Lilly and Company, USA
SO   PCT Int. Appl., 206 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.           KIND   DATE        APPLICATION NO.        DATE
     ---------------      ----   --------    --------------------   --------
PI   WO 2000006537         A1    20000210    WO 1999-US17017        19990728
         W:  AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,
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DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,
JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,
MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,
TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ,
MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AU	9952355	A1	20000221	AU 1999-52355	19990728
US	6525099	B1	20030225	US 2001-744419	20010123
PRAI US	1998-94921P	P	19980731		
WO	1999-US17017	W	19990728		

OS MARPAT 132:137119
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:98323 CAPLUS
DN 132:137177
TI Preparation of arylalkyl sulfonamides for potentiating of glutamate receptor function
IN **Arnold, Macklin Brian**; Bender, David Michael; Fray, Andrew Hendley; Jones, Winton Dennis; Ornstein, Paul Leslie; Simon, Richard Lee; Zarrinmayeh, Hamideh; Zimmerman, Dennis Michael
PA Eli Lilly and Company, USA
SO PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000006157	A1	20000210	WO 1999-US17140	19990728

W: AE, AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU,
SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA,
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RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, BF, BJ, CF, CG, CI, CM,
GA, GN, GW, ML, MR, NE, SN, TD, TG

CA	2338864	AA	20000210	CA 1999-2338864	19990728
AU	9952397	A1	20000221	AU 1999-52397	19990728
EP	980864	A2	20000223	EP 1999-306006	19990728
EP	980864	A3	20030709		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO

JP	2002521443	T2	20020716	JP 2000-562012	19990728
US	6358981	B1	20020319	US 2001-744414	20010123
US	2002115864	A1	20020822	US 2002-52988	20020118
US	6515026	B2	20030204		
US	2003171350	A1	20030911	US 2002-318483	20021212
US	6713516	B2	20040330		
US	2004157842	A1	20040812	US 2004-774284	20040206
PRAI US	1998-94905P	P	19980731		
WO	1999-US17140	W	19990728		
US	2001-744414	A3	20010123		
US	2002-52988	A3	20020118		
US	2002-318483	A3	20021212		

OS MARPAT 132:137177
RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:84383 CAPLUS
DN 132:122515
TI Preparation of thienylphenylpropylamides, -carbamates, -ureas, and related compounds as glutamate receptor potentiators.
IN **Arnold, Macklin Brian**; Bleisch, Thomas John; Ornstein, Paul Leslie; Zarrinmayeh, Hamideh; Zimmerman, Dennis Michael; Bender, David Michael; Jones, Winton Dennis
PA Eli Lilly and Company, USA
SO Eur. Pat. Appl., 82 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 976744	A1	20000202	EP 1999-305981	19990728
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	CA 2338916	AA	20000210	CA 1999-2338916	19990728
	WO 2000006156	A1	20000210	WO 1999-US17126	19990728
	W: AE, AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9951344	A1	20000221	AU 1999-51344	19990728
	JP 2002521442	T2	20020716	JP 2000-562011	19990728
	US 6617351	B1	20030909	US 2001-744412	20010123
	US 2004097499	A1	20040520	US 2003-613684	20030703
PRAI	US 1998-94997P	P	19980731		
	WO 1999-US17126	W	19990728		
	US 2001-744412	A3	20010123		

OS MARPAT 132:122515
RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT